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(54) Title: DEAZAPURINE NUCLEOSIDE ANALOGS AND THEIR USE AS THERAPEUTIC AGENTS

(57) Abstract: Methods, compositions, and uses for various deazapurine nucleoside libraries and library compounds are provided. Particularly preferred deazapurine nucleosides include 7-deazapurine nucleosides, 7-deaza-8-azapurine nucleosides, toyocamycin nucleoside analogs, 3-deazapurine nucleosides, and 9-deazapurine nucleosides, while preferred uses especially include use of such compounds as pharmacological, and particularly antiviral agents.

**INTERNATIONAL SEARCH REPORT**

International application No.

PCT/US03/01545

**A. CLASSIFICATION OF SUBJECT MATTER**

IPC(7) : C07H 19/00; A01N 43/04; A61K, 31/70  
 US CL : 536/26.1, 26.11, 26.12, 26.13, 27.21, 27.6, 27.62, 28.5; 514/45, 46, 47, 48, 49

According to International Patent Classification (IPC) or to both national classification and IPC

**B. FIELDS SEARCHED**

Minimum documentation searched (classification system followed by classification symbols)

U.S. : 536/26.1, 26.11, 26.12, 26.13, 27.21, 27.6, 27.62, 28.5; 514/45, 46, 47, 48, 49

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practicable, search terms used)  
 EAST, STN (REGISTRY, CAPLUS, MEDLINE, BIOSIS)

**C. DOCUMENTS CONSIDERED TO BE RELEVANT**

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	EP 0 576 227 A2 (ELI LILLY AND COMPANY) 29 December 1993 (29.12.1993)	1, 16, 21
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Y		2-4, 17-24
X	CUI et al. Effect of Both-Enantiomeric and Racemic Nucleoside Analogues on Mitochondrial Functions in HepG2 Cells. Biochemical Pharmacology. 1996, Vol. 52, No.10, pages 1577-1584, see entire document.	1, 5, 21
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Y		2-4, 6-7, 22-24
X	ILTZSCH et al. Structure-Activity Relationship for the Binding of Nucleoside Ligands to Adenosine Kinase From Toxoplasma Gondii. Biochemical Pharmacology. 1995, Vol. 49, No. 10, pages 1501-1512, see entire document.	1, 5, 8, 16
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Y		2-4, 6-7, 9-15, 17-24
X	BHATTACHARYA et al. Synthesis and anti-DNA Viral Activities in Vitro of Certain 2, 4-Disubstituted-7-(2-deoxy-2-fluoro-B-D-arabinofuranosyl)pyrrolo[pyrimidine] Nucleosides. Journal of Medicinal Chemistry. 1995, Vol. 38, pages 3957-3966, see entire document..	1, 21
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Y		2-4, 21-24

Further documents are listed in the continuation of Box C.

See patent family annex.

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"O" document referring to an oral disclosure, use, exhibition or other means

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## INTERNATIONAL SEARCH REPORT

## C. (Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	KRAWCZYK et al. Synthesis and Antiproliferative and Antiviral Activity of 2'-Deoxy-2-fluoroarabinofuranosyl Analogs of the Nucleoside Antibiotics Toyocamycin and Sangivamycin. Journal of Medicinal Chemistry. 1995, Vol. 38, pp. 4106-4114, see entire document.	1, 5, 21
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Y		2-4, 6-7, 22-24
X	MAYER, L. et al. Anti-human Immunodeficiency Virus 1 (HIV-1) Activities of 3-deazaadenosine Analogs: Increased potency against 3'-azido-3' -deoxythymidine-resistant HIV-1 strains. Proceedings of the National Academy of Science, USA, 1995, Vol. 92, No. 1, pages 215-219, see entire document.	16, 21
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X	SHORTNACY-FOWLER, T. et al. Synthesis and Biological Activity of 2'-Fluoro-D-arabinofuranosylpyrazolo[3,4-d]pyrimidine Nucleosides. Helvetica Chimica Acta. 1999, Vol. 82, pages 2240-2245, see entire document.	8, 21
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X	DAELMANS et al. S-Adenosylhomocysteine Hydrolase Inhibitors Interfere With The Replication of Human Immunodeficiency Virus Type 1 Through Inhibition of the LTR Transactivation. Molecular Pharmacology. 1997, Vol. 52, pages 1157-1163, see entire document.	1, 16, 21
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Y		2-4, 17-20, 22-24
Y	WO 01/90121 A2 (NOVIRIO PHARMACEUTICALS LIMITED et al.) 29 November 2001 (29.11.2001).	1-24
Y	WO 01/92282 A2 (NOVIRIO PHARMACEUTICALS LIMITED) 06 December 2001 (06.12.2001).	1-24
X, P	WO 02/057425 A2 (MBRICK & CO., INC.) 25 July 2002 (25.07.2002).	1-24
X, P	WO 02/32920 A2 (PHARMASSET LIMITED) 25 April 2002 (25.04.2002).	1-24
Y, P	WO 02/18404 A2 (F. HOFFMANN-LA ROCHE AG) 07 March 2002 (07.03.2002).	1-24